

Table 1. Amino acid sequence of peptides hydrolyzed by human glandular kallikrein2 (hk2)

---

G	K	A	R/	A	F	(SEQ ID NO: 1)
G	K	A	V	R/	Q	(SEQ ID NO: 2)
G	K	A	Y	F	M/	(SEQ ID NO: 3)
G	K	A	E	K	V/	(SEQ ID NO: 4)
G	K	A	F	R//	K/	(SEQ ID NO: 5)
G	K	A	K	P	R/	(SEQ ID NO: 6)
G	K	A	A	Y	Y/	(SEQ ID NO: 7)
G	K	A	W	Y	H/	(SEQ ID NO: 8)
G	K	A	F	R/	R//	(SEQ ID NO: 9)
G	K	A	I	Q	R/	(SEQ ID NO: 10)
G	K	A	M	R/	Q//	(SEQ ID NO: 11)
G	K	A	A	L	M/	(SEQ ID NO: 12)
G	K	A	Q	G	F/	(SEQ ID NO: 13)
G	K	A	N	M	N/	(SEQ ID NO: 14)

---

Random library constructed with sequence

NO<sub>2</sub>-Y-G-K-A-X1-X2-X3-Dap-F-K(ABZ)

Where NO<sub>2</sub>-Y is nitrotyrosine quencher;

X1-X2-X3 are random amino acids consisting

of all natural L-amino acids except cysteine (n=19),

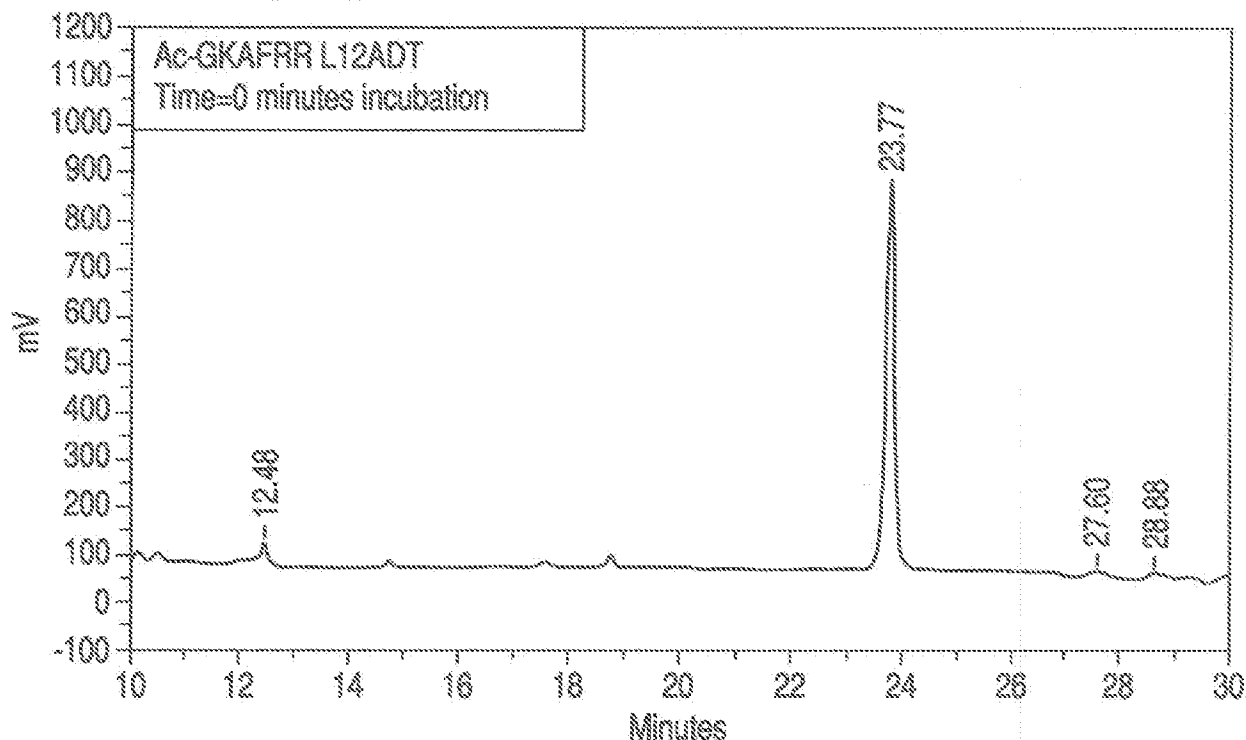
Dap is diaminopropanoate, K(ABZ) is lysine coupled to

fluorophore aminobenzoic acid (ABZ)

HK2 cleavage sites denoted by single or double //

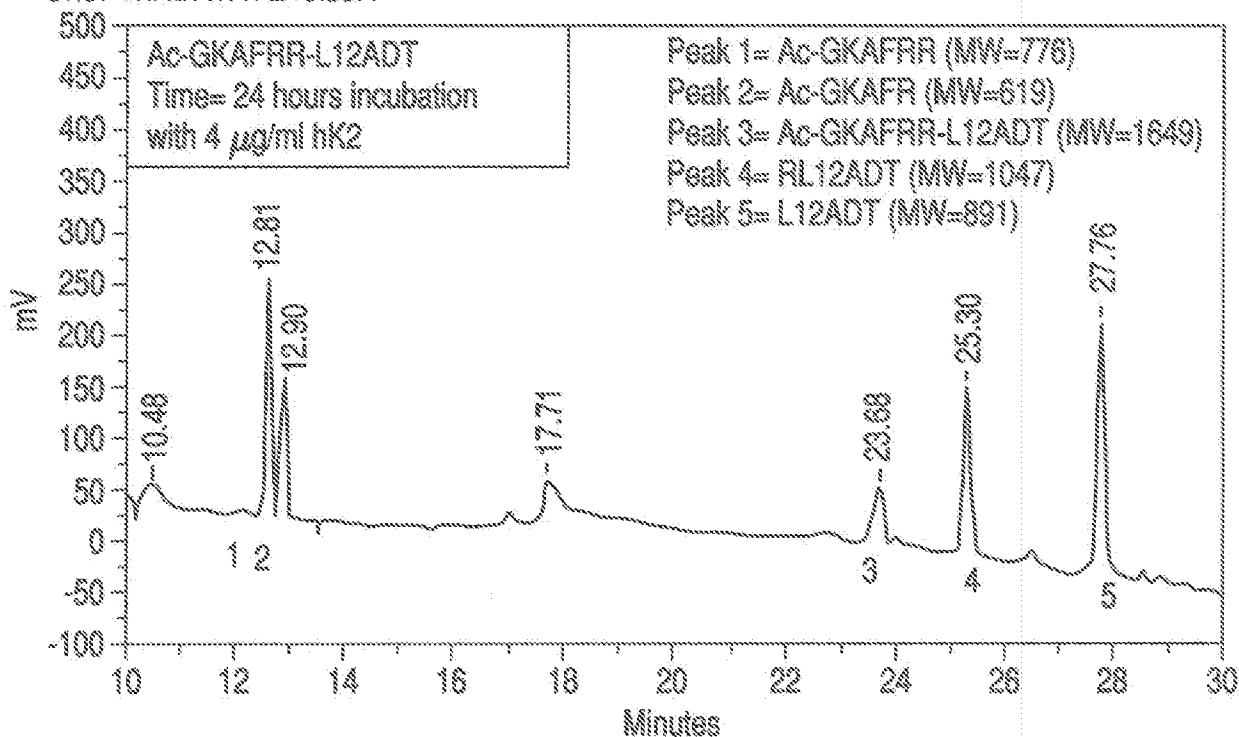
FIG. 1

FIG. 4



hK2PD digested with hK2 overnight at RT

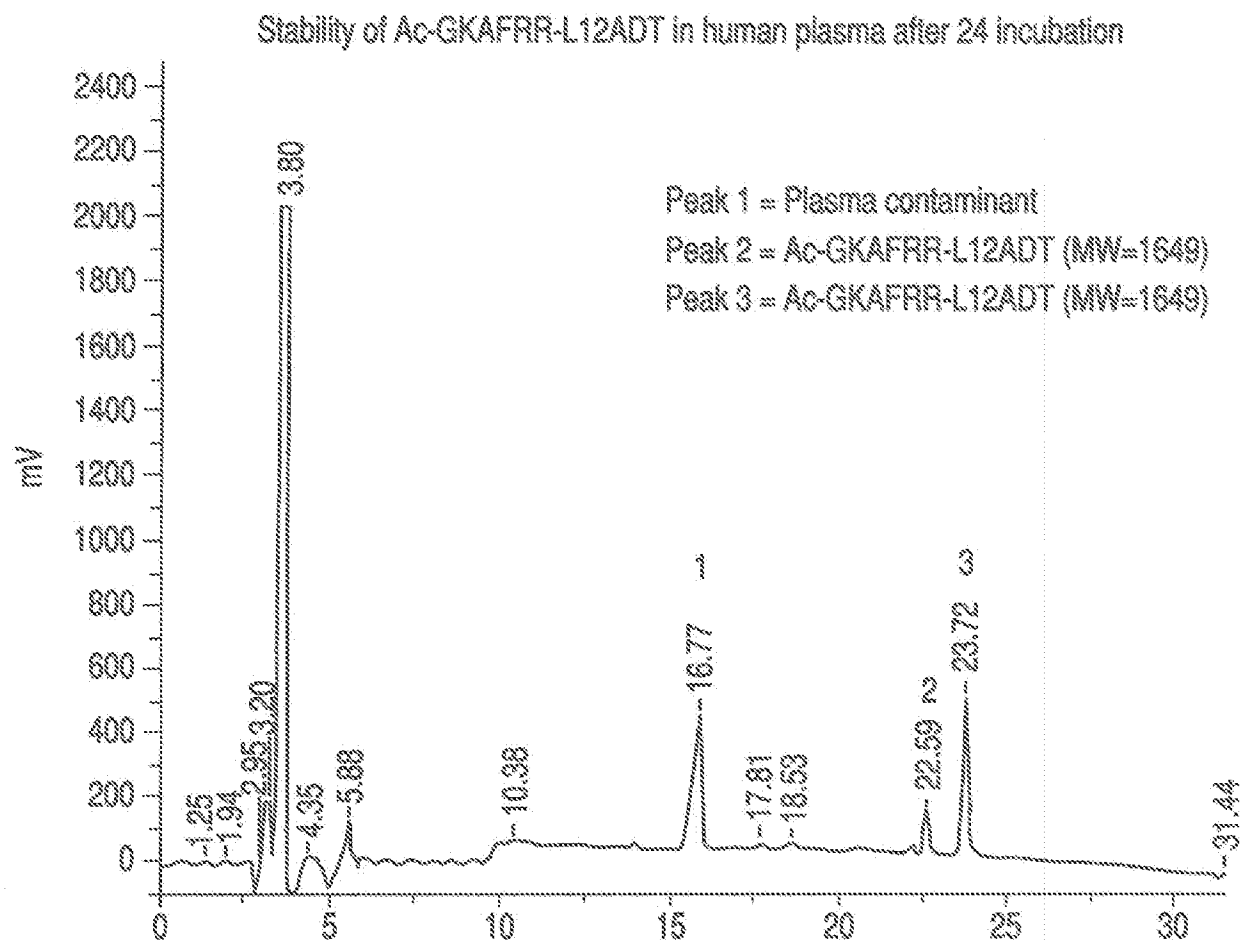
C:\CPWINDATA1\215.39R



C:\CPWINDATA1\215.39R

Printed on 11/8/2002 4:15:58 PM

HPLC analysis of hydrolysis of hK2 prodrug Ac-GKAFRR-L12ADT by hK2 (4 µg/ml) over 24 hr. incubated in 50 mM TRIS, 0.1 M NaCl, pH 7.8 at room temperature. Mass of each peak confirmed by MALDI-TOF mass spectrometric analysis (see figure 3 for mass-profiles).



HPLC analysis of Ac-GKAFRR-L12ADT incubated in 50% human plasma for 24 hrs at room temperature. Peak 1 represents unidentified plasma contaminant that was also present in control plasma. Peak 2 and 3 both represent Ac-GKAFRR-L12ADT as confirmed by MALDI-TOF mass spectrometric analysis

FIG. 5